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LOGINID:ssptacrs1614

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
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NEWS 3	OCT 19	BEILSTEIN updated with new compounds
NEWS 4	NOV 15	Derwent Indian patent publication number format enhanced
NEWS 5	NOV 19	WPIX enhanced with XML display format
NEWS 6	NOV 30	ICSD reloaded with enhancements
NEWS 7	DEC 04	LINPADOCDB now available on STN
NEWS 8	DEC 14	BEILSTEIN pricing structure to change
NEWS 9	DEC 17	USPATOLD added to additional database clusters
NEWS 10	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS 11	DEC 17	DGENE now includes more than 10 million sequences
NEWS 12	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS 13	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 14	DEC 17	CA/CAplus enhanced with new custom IPC display formats
NEWS 15	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS 16	JAN 02	STN pricing information for 2008 now available
NEWS 17	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 18	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 19	JAN 28	MARPAT searching enhanced
NEWS 20	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS 21	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 22	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS 23	FEB 08	STN Express, Version 8.3, now available
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NEWS 25	FEB 25	IFIREF reloaded with enhancements
NEWS 26	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS 27	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
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NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:14:25 ON 24 MAR 2008

=> file registry
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 08:14:35 ON 24 MAR 2008
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STRUCTURE FILE UPDATES: 23 MAR 2008 HIGHEST RN 1009738-20-8
DICTIONARY FILE UPDATES: 23 MAR 2008 HIGHEST RN 1009738-20-8

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http://www.cas.org/support/stngen/stndoc/properties.html

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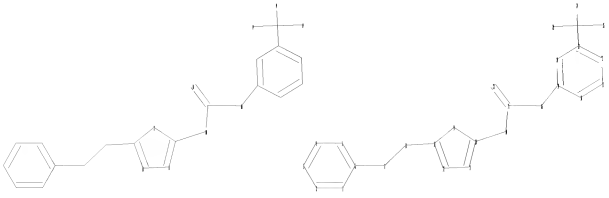

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7  8  14  15  16  23  24  25  26
ring nodes :
1  2  3  4  5  6  9  10  11  12  13  17  18  19  20  21  22
chain bonds :
6-7  7-8  8-13  10-14  14-15  15-16  15-23  16-19  21-24  25-26
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  9-10  9-13  10-11  11-12  12-13  17-18  17-22  18-19
19-20  20-21  21-22
exact/norm bonds :
9-10  9-13  10-11  10-14  11-12  12-13  14-15  15-16  15-23  16-19
exact bonds :
6-7  7-8  8-13  21-24  25-26
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  17-18  17-22  18-19  19-20  20-21  21-22


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:CLASS  15:CLASS  16:CLASS  17:Atom  18:Atom  19:Atom
20:Atom  21:Atom  22:Atom  23:CLASS  24:CLASS  25:CLASS  26:CLASS



L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
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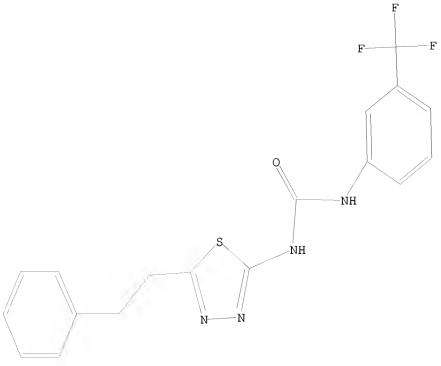

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss ful
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FULL SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=> end
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	181.12	181.33

STN INTERNATIONAL LOGOFF AT 08:18:43 ON 24 MAR 2008

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LOGINID:ssptacrs1614

PASSWORD:

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TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1          Web Page for STN Seminar Schedule - N. America
 NEWS  2  OCT 02  CA/CAplus enhanced with pre-1907 records from Chemisches
                  Zentralblatt
 NEWS  3  OCT 19  BEILSTEIN updated with new compounds
 NEWS  4  NOV 15  Derwent Indian patent publication number format enhanced
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 NEWS  7  DEC 04  LINPADOCDB now available on STN
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 NEWS 12  DEC 17  TOXCENTER enhanced with 2008 MeSH vocabulary in
                  MEDLINE segment
 NEWS 13  DEC 17  MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
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 NEWS 23  FEB 08  STN Express, Version 8.3, now available
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 NEWS 25  FEB 25  IFIREF reloaded with enhancements
 NEWS 26  FEB 25  IMSPRODUCT reloaded with enhancements
 NEWS 27  FEB 29  WPINDEX/WPIDS/WPIX enhanced with ECLA and current
                  U.S. National Patent Classification


 NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
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* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:20:38 ON 24 MAR 2008

=> file registry
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COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 08:20:55 ON 24 MAR 2008
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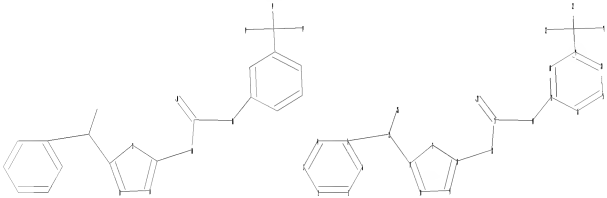

chain nodes :
12 13 14 21 22 23 24 25 26
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 15 16 17 18 19 20
chain bonds :
5-25 8-12 11-25 12-13 13-14 13-21 14-17 19-22 23-24 25-26
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 15-16 15-20 16-17
17-18 18-19 19-20
exact/norm bonds :

```
7-8  7-11  8-9  8-12  9-10  10-11  12-13  13-14  13-21  14-17
exact bonds :
5-25  11-25  19-22  23-24  25-26
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  15-16  15-20  16-17  17-18  18-19  19-20


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:CLASS  13:CLASS  14:CLASS  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom
20:Atom  21:CLASS  22:CLASS  23:CLASS  24:CLASS  25:CLASS  26:CLASS



L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
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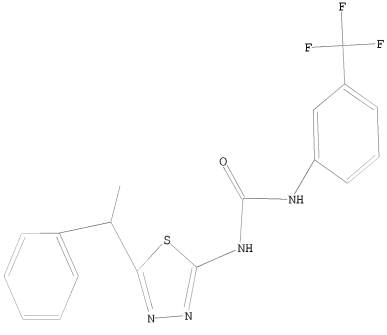


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Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss ful
FULL SEARCH INITIATED 08:21:46 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED        0 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.01

L2            0 SEA SSS FUL L1

=> end
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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	ENTRY	SESSION
FULL ESTIMATED COST	178.82	179.03

STN INTERNATIONAL LOGOFF AT 08:22:21 ON 24 MAR 2008

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NEWS 26	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS 27	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification

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FILE 'HOME' ENTERED AT 08:27:09 ON 24 MAR 2008

=> file registry
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

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http://www.cas.org/support/stngen/stndoc/properties.html

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Uploading C:\Program Files\STNEXP\Queries\10590729b.str
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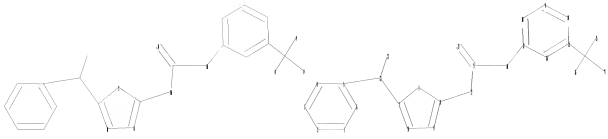

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chain nodes :
12  13  14  21  22  23  24  25  26
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  15  16  17  18  19  20
chain bonds :
5-22  8-12  11-22  12-13  13-14  13-21  14-17  15-24  22-23  25-26
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-11  8-9  9-10  10-11  15-16  15-20  16-17
17-18  18-19  19-20
exact/norm bonds :
7-8  7-11  8-9  8-12  9-10  10-11  12-13  13-14  13-21  14-17
exact bonds :
5-22  11-22  15-24  22-23  25-26
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  15-16  15-20  16-17  17-18  18-19  19-20


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:CLASS  13:CLASS  14:CLASS  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom
20:Atom  21:CLASS  22:CLASS  23:CLASS  24:CLASS  25:CLASS  26:CLASS



L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1              STR
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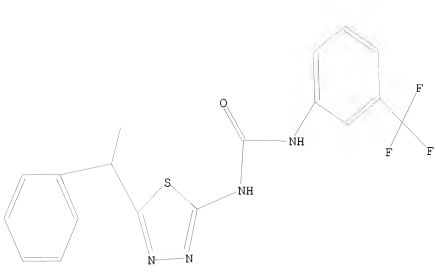

Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 08:27:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	178.36	178.57

FILE 'CAPLUS' ENTERED AT 08:28:08 ON 24 MAR 2008
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FILE COVERS 1907 - 24 Mar 2008 VOL 148 ISS 13
FILE LAST UPDATED: 23 Mar 2008 (20080323/ED)

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http://www.cas.org/infopolicy.html

```
=> s burgdorf l?/au
L3          21 BURGDORF L?/AU

=> d l3 ibib abs 1-21

L3   ANSWER 1 OF 21  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2007:702680  CAPLUS
DOCUMENT NUMBER:          147:118272
TITLE:                    Preparation of diazepinones as PDK1 kinase inhibitors
INVENTOR(S):              Schulz, Melanie; Burgdorf, Lars Thore;
                          Finsinger, Dirk; Blaukat, Andree; Greiner, Hartmut;
                          Esdar, Christina; Kreysch, Hans-Georg; Henzler, Tanja
PATENT ASSIGNEE(S):       Merck Patent G.m.b.H., Germany
SOURCE:                   Ger. Offen., 35pp.
                          CODEN: GWXXBX
DOCUMENT TYPE:            Patent
LANGUAGE:                 German
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.            KIND   DATE        APPLICATION NO.          DATE
     ---------------       ----   --------    -------------------      --------
     DE 102005061655        A1    20070628    DE 2005-102005061655     20051222
     WO 2007079826          A1    20070719    WO 2006-EP11411          20061128
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             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
             KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
             MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
             RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:                        DE 2005-102005061655A  20051222
OTHER SOURCE(S):          MARPAT 147:118272
GI
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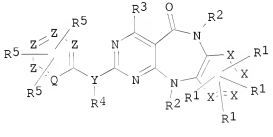
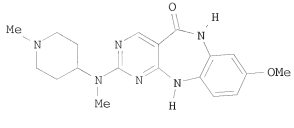


I



II

AB Title compds. I [R1, R3, R4, R5 = H, halo, CN, etc.; R2 = R6; R6 = H, halo, OH, etc.; X = N, O, S, etc.; Y = NR4, O, S; Z = N, O, S, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, diazepinone II was prepared from 2-nitro-p-anisidine in 6-steps. In pdk1 kinase inhibition assays, 28-examples of compds. I exhibited IC50 values ranging from 0.4-3.6 μM.

L3 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1312199 CAPLUS
DOCUMENT NUMBER: 146:62590
TITLE: Oxindoles as protein kinase inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Burgdorf, Lars Thore; Bruge, David; Greiner, Hartmut; Kordowicz, Maria; Sirrenberg, Christian; Zenke, Frank
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 89pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006131186	A1	20061214	WO 2006-EP4423	20060511
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006254758	A1	20061214	AU 2006-254758	20060511
CA 2611401	A1	20061214	CA 2006-2611401	20060511
EP 1891008	A1	20080227	EP 2006-753563	20060511
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: EP 2005-12559 A 20050610
WO 2006-EP4423 W 20060511
OTHER SOURCE(S): MARPAT 146:62590
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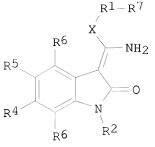

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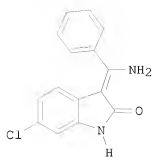

II

AB The invention relates to oxindoles of the formula I, their use as protein kinase activators or inhibitors, a method for their manufacture, their use for the preparation of a medicament for the treatment of diseases and their use for the manufacture of a pharmaceutical composition Compds. of formula I wherein X is (CH2)p; R1 is (hetero)aryl ; R2 is H, (un)branched alkyl, (un)substituted cycloalkyl, aryl, OH and derivs., etc.; R3-R7 are independently H, (un)branched alkyl, (un)substituted cycloalkyl, OH and deriv.s, aryl, SH and derivs., etc.; and their physiol. acceptable salts, derivs., prodrugs, solvates and stereoisomers, including mixts. thereof, are claimed. Example compound II was prepared from the corresponding benzimidic acid Et ester and oxindole (general procedure given). All the invention compds. were evaluated for their protein kinase inhibitory activity (data given).

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:950636 CAPLUS

DOCUMENT NUMBER: 145:314834

TITLE: Preparation of pyrrolo[3,2,1-ij]quinolines as tyrosine kinase and Raf kinase inhibitors

INVENTOR(S): Staehle, Wolfgang; Heinrich, Timo; Kordowicz, Maria; Blaukat, Andree; Burgdorf, Lars, Thore

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany

SOURCE: PCT Int. Appl., 103pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006094600	A1	20060914	WO 2006-EP1281	20060213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102005011058	A1	20060914	DE 2005-102005011058	20050310

AU 2006222339	A1	20060914	AU 2006-222339		20060213
CA 2600630	A1	20060914	CA 2006-2600630		20060213
EP 1856116	A1	20071121	EP 2006-706893		20060213

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.: DE 2005-102005011058A 20050310
WO 2006-EP1281 W 20060213

OTHER SOURCE(S): CASREACT 145:314834; MARPAT 145:314834

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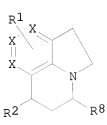

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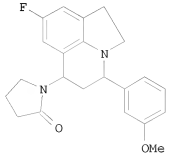


II

AB Title compds. I [X = CH, N; R1 = halo, CN, NO2, etc.; R2 = Ar, OR, NHR, etc.; R3 = (CH2)nAr, (CH2)nHet; n = 0-4; R = H, A, Ar, etc.; A = (un)substituted alkyl with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, three-component coupling of 5-fluoroindoline, 1-vinyl-2-pyrrolidone and 3-methoxybenzaldehyde afforded claimed pyrroloquinoline II. In insulin like growth factor I receptor kinase assays, 45-examples of compds. I exhibited IC50 values ranging from 0.0019-2.9x10-5 mol/L.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:364321 CAPLUS
DOCUMENT NUMBER: 144:412515
TITLE: Heterocyclic substituted bisarylurea derivatives as kinase inhibitors and their preparation, pharmaceutical compositions, and use for treatment of diseases mediated or propagated by kinases
INVENTOR(S): Stieber, Frank; Jonczyk, Alfred; Hoelzemann, Guenter; Buchstaller, Hans-Peter; Burgdorf, Lars Thore ; Rautenberg, Wilfried; Greiner, Hartmut
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 232 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040056	A1	20060420	WO 2005-EP10744	20051006

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,

SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

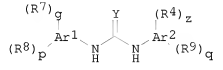
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2005293839	A1	20060420	AU 2005-293839	20051006
CA 2584185	A1	20060420	CA 2005-2584185	20051006
EP 1799669	A1	20070627	EP 2005-789864	20051006
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101039932	A	20070919	CN 2005-80035117	20051006
MX 2007004248	A	20070612	MX 2007-4248	20070410
KR 2007062998	A	20070618	KR 2007-708364	20070412
IN 2007KN01680	A	20070727	IN 2007-KN1680	20070511

PRIORITY APPLN. INFO.: EP 2004-24369 A 20041013
EP 2005-16845 A 20050803
WO 2005-EP10744 W 20051006

OTHER SOURCE(S): MARPAT 144:412515

GI



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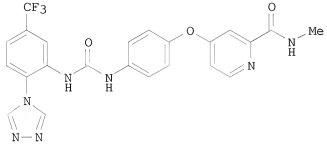

II

AB The invention relates to heterocyclic substituted bisarylurea derivs. of formula I, the use of the compds. of formula I as inhibitors of one or more kinases, the use of the compds. of formula I for the manufacture of a pharmaceutical composition and a method of treatment, comprising administering said pharmaceutical composition to a patient. Compds. of formula I wherein R4 is (X-Ar3)α-(R10)10; Ar1, Ar2, and Ar3 are independently 5- to 14-membered unsatd. or aromatic cyclic hydrocarbon, or 2- to 10-membered unsatd. or aromatic heterocyclic residue, preferably 1 to 5 heteroatoms selected from N, O, and S; α is 0, 1, or 2; r, z, and p are independently 0, 1, 2, 3, 4 or 5; R7 is nitrogen containing heterocyclic moiety bound directly to Ar1 via a nitrogen atom, etc.; R8, R9, and R10 are independently H, (alkoxy)alkyl, alkenyl, C3-7 cycloalkyl, alkenylcycloalkyl, halo, CH2halo, CH(halo)2, C(halo)3, NO2, etc.; Y is O, S, NH and derivs., (un)substituted CHNO2, (un)substituted CHCN, or C(CN)2; g is 1, 2, or 3; q is 0, 1, 2, 3 or 4; and their pharmaceutically acceptable derivs., salts and solvates thereof are claimed in this

invention. Example compound II was prepared by chlorination and esterification of pyridine-2-carboxylic acid to give Me 4-chloropyridine-2-carboxylate, which underwent amidation with methylamine to give 4-chloropyridine-2-carboxylic acid methylamide, which was reacted with 4-aminophenol; the resulting 4-(4-aminophenoxy)pyridine-2-carboxylic acid methylamine reacted with p-nitrophenyl chloroformate and 4-(2-amino-4-trifluoromethylphenyl)-1,2,4-triazole to give example compound II. All the invention compds. were evaluated for their activity as modulators and inhibitors of kinases. From the assay, it was determined that these compds. preferably inhibit VEGF-stimulated mitogenesis of human vascular endothelial cells in cultures with IC50 values of 0.01-5.0 μM.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1350605 CAPLUS

DOCUMENT NUMBER: 144:69837

TITLE: Preparation of 3-aminoindazoles as serum and glucocorticoid-regulated kinase (SGK) inhibitors

INVENTOR(S): Dorsch, Dieter; Burgdorf, Lars Thore; Gericke, Rolf; Beier, Norbert; Mederski, Werner; Lang, Florian

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany

SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005123688	A2	20051229	WO 2005-EP3513	20050404
WO 2005123688	A3	20060223		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 102004028862	A1	20051229	DE 2004-102004028862	20040615
AU 2005254617	A1	20051229	AU 2005-254617	20050404
CA 2570264	A1	20051229	CA 2005-2570264	20050404
EP 1765788	A2	20070328	EP 2005-729376	20050404
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, LV				
JP 2008502610	T	20080131	JP 2007-515792	20050404
IN 2006KN03519	A	20070615	IN 2006-KN3519	20061124
US 2007232620	A1	20071004	US 2006-629504	20061214

PRIORITY APPLN. INFO.: DE 2004-102004028862A 20040615
WO 2005-EP3513 W 20050404

OTHER SOURCE(S): MARPAT 144:69837

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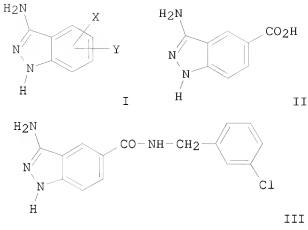


I II III

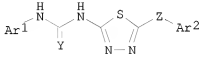
AB Title compds. I [Y = W-R1; X = H, halo, NO2, etc.; R1 = carbocycle, heterocycle, etc.; W = [C(R2)2]n-[C(R2)2]nCONR2[C(R2)2]n, etc.; R2 = H, A, etc.; A = alkyl, alkylene, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, coupling of carboxylic acid II and 3-chlorobenzylamine afforded aminoindazole III. Compds. I are claimed to be useful as glucocorticoid-regulated kinase (SGK) inhibitors (no data provided).

L3 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1002884 CAPLUS
DOCUMENT NUMBER: 143:306318
TITLE: Preparation of thiadiazole urea derivatives for use in controlling signal transduction of kinases
INVENTOR(S): Burgdorf, Lars; Buchstaller, Hans-Peter; Stieber, Frank; Anzali, Soheila; Amendt, Christiane; Greiner, Hartmut; Grell, Matthias; Sirrenberg, Christian; Zenke, Frank
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 32 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004009933	A1	20050915	DE 2004-102004009933	20040226
AU 2005219499	A1	20050915	AU 2005-219499	20050131
CA 2557303	A1	20050915	CA 2005-2557303	20050131
WO 2005085220	A1	20050915	WO 2005-EP908	20050131

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1720846 A1 20061115 EP 2005-701263 20050131
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
JP 2007523922 T 20070823 JP 2007-500082 20050131
US 2007191353 A1 20070816 US 2006-590729 20060825
PRIORITY APPLN. INFO.: DE 2004-102004009933A 20040226
WO 2005-EP908 W 20050131
OTHER SOURCE(S): CASREACT 143:306318; MARPAT 143:306318
GI



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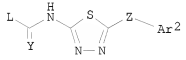

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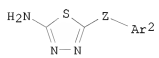
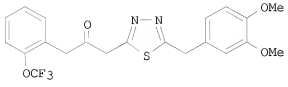


III



IV

AB Use of compds. I [Ar1 = (un)substituted Ph, naphthyl, biphenyl or heterocycle (substituted with 1–5 R1); Ar2 = (un)substituted Ph, naphthyl, biphenyl or heterocycle (substituted with 1–5 R2); Y = O, S, CHNO2, C(CN)2, NR4; Z = O, S, CH2(CH2)n, (CH2)nCHA, CHA(CH2)n, C:O, CHOH, (CHA)nO, (CH2)nO, O(CHA)n, etc.; R1, R2 = A, Ar', OR3, OAr', SAr', N(R3)2, NHAr', halogen, NO2, CN, (CH2)nCO2H, (CH2)nCON(R3)2, (CH2)nCONHR3, etc.; R3 = H, A, (CH2)nAr'; R4 = H, CN, OH, A, (CH2)mAr', COR3, COAr', S(O)mA, S(O)mAr'; Ar' = (un)substituted Ph (optionally substituted 1–5 times with A, Ph, OH, OA, SHH, SA, OPh, SPh, NH2, NHA, NA2, NHPh, halogen, NO2, CN, (CH2)nCO2H), (CH2)nA, CHO, COA, S(O)mA, S(O)mPh, NHCOA, NHCOPh, NHSO2A, NHSO2Ph, SO2NH; Ph = (un)substituted (optionally substituted 1–5 times with A, halogen, CN, CO2R, CO2H, NH2, NO2, OH, OA); Het1 = (un)substituted heterocycle with 1- to 4-heteroatoms (N, O, S; optionally substituted 1 to 3 times with halogen, A, OA, CN, (CH2)nOH, (CH2)n-halogen, NH2, :NH, :NOH, :NOA, :O); A = C1–10-alkyl (whereby 1 - 7 H's can be replaced with F or Cl); halogen = F, Cl, Br, I; n= 0 - 5; m = 0, 1, 2] and their pharmaceutically acceptable salts, solvates,and stereoisomers, for the prophylaxis and/or treatment of diseases, with which the inhibition, control and/or modulation of the signal transduction of kinases, in particular the RAF kinases, play a role. A method for preparation of I comprises: (a) reaction of carbamic acid derivative II (L = OA, Cl, Br, I, OH derivative) with Ar1NH2; or (b) carbamylation of thiadiazolamine III with

Ar1NCO. Thus, 1-[5-(3,4-dimethoxybenzyl)-[1,3,4]-thiadiazol-2-yl]-3-[3-(trifluoromethoxy)phenyl]urea (IV) was prepared from (3,4-dimethoxyphenyl)acetonitrile, via cyclocondensation with thiosemicarbazide in CF2CO2H to the 5-(3,4-dimethoxybenzyl)-[1,3,4]-thiadiazole, carbonylation with p-nitrophenyl chloroformate in CH2C12 containing pyridine followed by amidation with 3-(trifluoromethoxy)aniline in CH2C12 containing EtN(CHMe2)2.

L3 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:979621 CAPLUS
DOCUMENT NUMBER: 143:266924
TITLE: Preparation of ureidoalkyl-substituted benzimidazole derivatives as kinase inhibitors
INVENTOR(S): Buchstaller, Hans-Peter; Burgdorf, Lars; Stieber, Frank; Amendt, Christiane; Grell, Mathias; Sirrenberg, Christian; Zenke, Frank
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082862	A2	20050909	WO 2005-EP1445	20050214
WO 2005082862	A3	20051201		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2005217042	A1	20050909	AU 2005-217042	20050214
CA 2557398	A1	20050909	CA 2005-2557398	20050214
EP 1718637	A2	20061108	EP 2005-715321	20050214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
JP 2007523929	T	20070823	JP 2007-500097	20050214
US 2007191444	A1	20070816	US 2006-590798	20060825

PRIORITY APPLN. INFO.:
EP 2004-4332 A 20040226
EP 2004-4967 A 20040303
WO 2005-EP1445 W 20050214

OTHER SOURCE(S): MARPAT 143:266924
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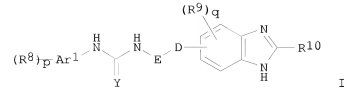

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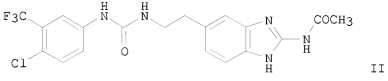

II

AB Title compds. I [Ar1 = aromatic hydrocarbon; E, D = divalent alkyl; R8-10 = H, cyloalkyl, halo, alkylhalo, etc.; Y = O, S, etc.; p = 0-5; q = 0-4] are prepared For instance, N-[2-(4-nitrophenyl)ethyl]acetamide is reduced, acetylated and deacylated to give 4-(2-aminoethyl)-3-nitroaniline. This is converted to the urea with 4-chloro-3-(trifluoromethyl)isocyanate and subsequently reduced to the corresponding diamine. Treatment of this with cyanogen bromide and subsequent acetylation provide example compound II. I are modulators of, e.g., A-Raf, B-Raf, Tie-1, etc. kinases [no data] and are useful for the treatment of cancer.

L3 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:979617 CAPLUS
DOCUMENT NUMBER: 143:286297
TITLE: Preparation of isoquinoline derivatives as kinase inhibitors
INVENTOR(S): Buchstaller, Hans-Peter; Burgdorf, Lars; Finsinger, Dirk; Amendt, Christiane; Grell, Matthias; Sirrenberg, Christian; Zenke, Frank
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082858	A2	20050909	WO 2005-EP983	20050201
WO 2005082858	A3	20051110		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2005217033	A1	20050909	AU 2005-217033		20050201
CA 2555720	A1	20050909	CA 2005-2555720		20050201
EP 1718616	A2	20061108	EP 2005-707121		20050201
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JP 2007523923	T	20070823	JP 2007-500085		20050201
US 2007191423	A1	20070816	US 2006-590797		20060825
PRIORITY APPLN. INFO.:			EP 2004-4412	A	20040226
			WO 2005-EP983	W	20050201

OTHER SOURCE(S): CASREACT 143:286297; MARPAT 143:286297

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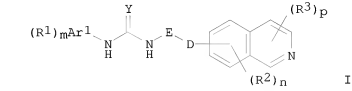

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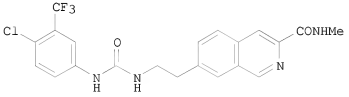

II

AB Title compds. I [Ar1 = (un)substituted aryl; E = (un)substituted aliphatic linker of 1-2 carbons; D = (un)substituted aliphatic linker of 0-1 carbons; Y = O, S, C(CN)2, etc.; R1-3 independently = H, halo, NO2, etc.; m and p independently = 0-5; n = 0-4], and their pharmaceutically acceptable salts, are prepared and disclosed as kinase inhibitors (no data). Thus, e.g., II was prepared by reaction of 4-chloro-3-trifluoromethylphenylisocyanate with N-methyl-7-(2-aminoethyl)isoquinolin-3-carboxamide (prepn given). Pharmaceutical comps. of I, and a method of treatment, comprising administering said pharmaceutical composition to a patient are further disclosed.

L3 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2005:823661 CAPLUS
DOCUMENT NUMBER:	143:229726
TITLE:	Preparation of 1,3-diarylureas as inhibitors of raf and other kinases useful against cancer and other diseases
INVENTOR(S):	Buchstaller, Hans-Peter; Burgdorf, Lars; Stieber, Frank; Amendt, Christiane; Grell, Matthias; Sirrenberg, Christian; Zenke, Frank
PATENT ASSIGNEE(S):	Merck Patent G.m.b.H., Germany
SOURCE:	PCT Int. Appl., 264 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005075425 A2 20050818 WO 2005-EP387 20050117
WO 2005075425 A3 20061214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2005211448 A1 20050818 AU 2005-211448 20050117
CA 2554878 A1 20050818 CA 2005-2554878 20050117
EP 1730111 A2 20061213 EP 2005-700967 20050117
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU
CN 1972925 A 20070530 CN 2005-80002901 20050117
BR 2005007198 A 20070626 BR 2005-7198 20050117
JP 2007519653 T 20070719 JP 2006-549997 20050117
US 2007161677 A1 20070712 US 2006-587292 20060725
MX 2006PA08449 A 20061002 MX 2006-PA8449 20060726
IN 2006KN02441 A 20070525 IN 2006-KN2441 20060828
PRIORITY APPLN. INFO.: EP 2004-2092 A 20040130
WO 2005-EP387 W 20050117
OTHER SOURCE(S): MARPAT 143:229726

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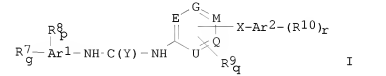

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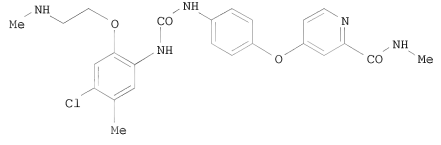

I

AB The present invention relates to bisarylurea derivs. (shown as I; variables defined below; e.g. 4-[4-[3-[4-chloro-5-methyl-2-(2-methylaminoethoxy)phenyl]ureido]phenoxy]pyridine-2-carboxylic acid methylamide (shown as II)), their use as inhibitors of raf-kinase (no data) and for the manufacture of a pharmaceutical composition and a method of treatment, comprising administering said pharmaceutical composition to a patient. Methods of preparation are claimed and >100 example prepns. are included. For example, 1-[2-[2-[(tert-butoxycarbonyl)(methyl)amino]ethoxy]-5-(trifluoromethyl)phenyl]-3-[4-[[2-(methylcarbamoyl)pyridin-4-yl]oxy]phenyl]urea was prepared (87 %) by reacting tert-Bu [2-[2-amino-4-(trifluoromethyl)phenoxy]ethyl](methyl)carbamate (preparation

given) with p-nitrophenyl chloroformate followed by N-methyl-4-(4-aminophenoxy)pyridine-2-carboxamide (preparation given) and DIPEA; deprotection gave 86 % 1-[2-[2-(methylamino)ethoxy]-5-(trifluoromethyl)phenyl]-3-[4-[[2-(methylcarbamoyl)pyridin-4-yl]oxy]phenyl]urea. For I: Ar1, Ar2 = aromatic hydrocarbons containing 6 to 14 C atoms and ethylenic unsatd. or aromatic heterocyclic residues containing 3 to 10 C atoms and one or two heteroatoms, = N, O and S; E, G, M, Q and U = C and N atoms, with the proviso that ≥1 of E, G, M, Q and U are C atoms and that X is bonded to a C atom. R7 = Het, OHet, N(R11)Het, (CR5R6)kHet, et al. or R7 = -SO2-CR8:CR8-, wherein both valencies are bound vicinally to Ar1; R8, R9 and R10 = H, A, cycloalkyl comprising 3 to 7 C atoms, Hal, et al.; Y = O, S, NR21, C(R22)-NO2, C(R22)-CN and C(CN)2; g = 1-3, preferably 1 or 2, p, r = 0-5; q = 0-4, preferably 0, 1 or 2; addnl. details are given in the claims.

L3 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:567162 CAPLUS
DOCUMENT NUMBER: 143:97170
TITLE: Preparation and formulations of diacylhydrazine derivatives capable of inhibiting raf-kinases
INVENTOR(S): Finsinger, Dirk; Buchstaller, Hans-Peter; Burgdorf, Lars; Amendt, Christiane; Grell, Matthias; Sirrenberg, Christian; Zenke, Frank
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 189 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058832	A1	20050630	WO 2004-EP12764	20041111
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004299174	A1	20050630	AU 2004-299174	20041111
CA 2548571	A1	20050630	CA 2004-2548571	20041111
EP 1692110	A1	20060823	EP 2004-820392	20041111
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JP 2007515412	T	20070614	JP 2006-543396	20041111
US 2007093529	A1	20070426	US 2006-582496	20060609

PRIORITY APPLN. INFO.: EP 2003-28268 A 20031210
WO 2004-EP12764 W 20041111
OTHER SOURCE(S): CASREACT 143:97170; MARPAT 143:97170
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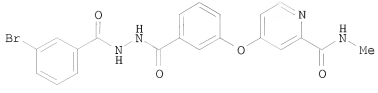
A—D—B I



II

AB The present invention discloses diacylhydrazine derivs. of formula I [D = bivalent diacylhydrazine moiety, or a derivative thereof; A = (un)substituted moiety of formula -L-(ML1)n, where L = aryl, heteroaryl, arylene, and heteroarylene bound directly to D, L1 = (un)substituted aryl, heteroaryl, aralkyl, cycloalkyl, and heterocyclyl, M = bond or linker, n = 1-4; B = (un)substituted up to tricyclic aryl or heteroaryl], methods to prepare them, and their use as inhibitors of raf-kinase (no data). Thus, e.g., II was prepared by substitution of (4-chloropyridine-2-carboxylic acid)methylamide (preparation given) with 3-hydroxybenzoic acid Et ester followed by hydrolysis, esterification with pentafluorophenol and reaction with 3-bromobenzhydrazide. The use of I for the manufacture of a pharmaceutical composition and a method of treatment, comprising administering said pharmaceutical composition to a patient, are further disclosed.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:469894 CAPLUS
DOCUMENT NUMBER: 143:7592

TITLE: Preparation of arylpyrrolecarboxamides as Raf kinase inhibitors for treatment of tumors.

INVENTOR(S): Finsinger, Dirk; Buchstaller, Hans-Peter; Burgdorf, Lars; Wiesner, Matthias; Amendt, Christiane; Grell, Matthias; Sirrenberg, Christian; Zenke, Frank

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: Ger. Offen., 32 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10354060	A1	20050602	DE 2003-10354060	20031119
AU 2004291255	A1	20050602	AU 2004-291255	20041026
CA 2546334	A1	20050602	CA 2004-2546334	20041026
WO 2005049603	A1	20050602	WO 2004-EP12076	20041026

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EP 1685125	A1	20060802	EP 2004-790859		20041026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
CN 1882571	A	20061220	CN 2004-80034345		20041026
BR 2004016690	A	20070130	BR 2004-16690		20041026
JP 2007511553	T	20070510	JP 2006-540216		20041026
IN 2006KN00936	A	20070420	IN 2006-KN936		20060417
MX 2006PA05478	A	20060811	MX 2006-PA5478		20060515
US 2007149594	A1	20070628	US 2006-579825		20060517
PRIORITY APPLN. INFO.:			DE 2003-10354060	A	20031119
			WO 2004-EP12076	W	20041026

OTHER SOURCE(S): MARPAT 143:7592

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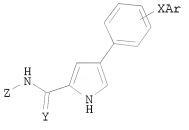

I

AB Title compds. [I; Ar = (substituted) Ph, naphthyl, biphenyl, heterocyclyl; X = O, S, (CH2)n, CO, (CH2)nO, (CH2)nNH, etc.; n = 1-3; Y = O, S, CHNO2, C(CN)2, NR4; R4 = H, cyano, OH, etc.; Z = Ar, ArXAr, CH2Ar, CH2ArXAr; Ar = (substituted) Ph], were prepared as Raf kinase inhibitors (no data). Thus, 4-(PhCH2O)C6H4CH2CO2H, DMF, and POCl3 were heated together at 70° for 4 h followed by cooling and addition of ice water and aqueous NaClO4 to give 98% [2-(4-benzyloxyphenyl)-3-dimethylaminoallylidene]dimethylammonium perchlorate. This was refluxed 24 h with glycine Et ester hydrochloride in EtOH containing 20% NaOEt to give 91% Et 4-(4-benzyloxyphenyl)-1H-pyrrole-2-carboxylate. Hydrogenolysis of the latter in EtOAc over Pd/C gave 91% Et 4-(4-hydroxyphenyl)-1H-pyrrole-2-carboxylate. This was heated with 4-chloropyridine-2-carboxylic acid N-methylamide at 160° for 48 h to give 40% Et 4-[4-(2-methylcarbamoylpyridin-4-yloxy)phenyl]-1H-pyrrole-2-carboxylate. Saponification with 2N NaOH in EtOH at 60° for 16 h followed by acidification with HCl gave 85% free acid, which was stirred 48 h in DMF with 5-amino-2-chlorobenzotrifluoride, N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride, and 1-hydroxybenzotriazole hydrate to give 17% 4-[4-[5-(4-chloro-3-trifluoromethylphenylcarbamoyl)-1H-pyrrol-3-yl]phenoxy]pyridine-2-carboxylic acid N-methylamide.

L3 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2005:371211 CAPLUS
DOCUMENT NUMBER:	142:429927
TITLE:	Preparation of acylhydrazones as modulators of glucocorticoid inducible kinase (SGK)
INVENTOR(S):	Gericke, Rolf; Beier, Norbert; Poeschke, Oliver; Burgdorf, Lars; Drosdat, Helga; Lang, Florian
PATENT ASSIGNEE(S):	Merck Patent GmbH, Germany
SOURCE:	PCT Int. Appl., 65 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037773	A1	20050428	WO 2004-EP10398	20040916
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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DE 10346913	A1	20050504	DE 2003-10346913	20031009
AU 2004281906	A1	20050428	AU 2004-281906	20040916
CA 2542106	A1	20050428	CA 2004-2542106	20040916
EP 1670751	A1	20060621	EP 2004-765298	20040916
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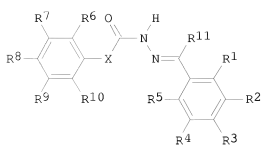
CN 1863764	A	20061115	CN 2004-80029575	20040916
BR 2004015119	A	20061128	BR 2004-15119	20040916
JP 2007509037	T	20070412	JP 2006-529992	20040916
KR 2007029106	A	20070313	KR 2006-706033	20060328
MX 2006PA03789	A	20060614	MX 2006-PA3789	20060404
US 2007060646	A1	20070315	US 2006-574781	20060406
IN 2006KN01179	A	20070427	IN 2006-KN1179	20060505
PRIORITY APPLN. INFO.:			DE 2003-10346913 A	20031009
			WO 2004-EP10398 W	20040916

OTHER SOURCE(S): MARPAT 142:429927
GI



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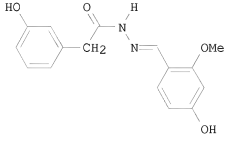

II

AB Title compds. I [R1, R5 = H, OH, CH3, etc.; R2, R3, R4, R6, R7, R8, R9, R10 = H, OH, OCF3, etc.; R11 = H, CH3; X = CH2, CH2CH2, OCH2, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of 4-hydroxy-2-methoxybenzaldehyde and (3-hydroxyphenyl)acetic acid hydrazide, afforded claimed acylhydrazone II in 75% yield. Compds. I are claimed to be useful in the modulation glucocorticoid inducible kinase (SGK).

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:55062 CAPLUS
DOCUMENT NUMBER: 142:134604
TITLE: Preparation of benzimidazole amides as raf kinase inhibitors
INVENTOR(S): Buchstaller, Hans-Peter; Finsinger, Dirk; Wiesner, Matthias; Burgdorf, Lars; Amendt, Christiane; Grell, Matthias; Sirrenberg, Christian; Zenke, Frank
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005004864	A1	20050120	WO 2004-EP6419	20040615

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004255403	A1	20050120	AU 2004-255403		20040615
CA 2531859	A1	20050120	CA 2004-2531859		20040615
EP 1653951	A1	20060510	EP 2004-739891		20040615
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
JP 2007513054	T	20070524	JP 2006-519783		20040615
US 2007010560	A1	20070111	US 2006-564185		20060807
US 2007156268	A1	20070705	US 2006-564169		20061128
US 2007168064	A1	20070719	US 2006-564101		20061128
PRIORITY APPLN. INFO.:			EP 2003-15582	A	20030711
			WO 2004-EP6419	W	20040615
			US 2005-740014P	P	20051128

OTHER SOURCE(S): CASREACT 142:134604; MARPAT 142:134604

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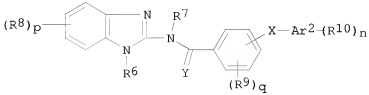

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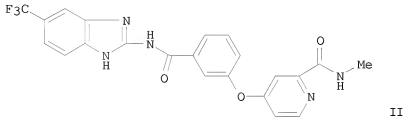

II

AB Title compds. I [R6-7 = H, A, SO2A; A = alkyl, alkenyl, cycloalkyl, etc.; Ar2 = aromatic hydrocarbon; R8-10 = H, A, cycloalkyl, etc.; X = divalent alkyl, etc.; p, n = 0-5; q = 0-4] are prepared For instance, II is prepared from the corresponding 2-aminoimidazole and carboxylic acid (DMF, TBTU, HOBt, i-Pr2NEt). I are raf kinase inhibitors and are useful for the treatment of cancer.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:869840 CAPLUS

DOCUMENT NUMBER: 138:283104

TITLE: Cleavable substrate containing molecular beacons for the quantification of DNA-photolyase activity

AUTHOR(S): Kundu, Lal Mohan; Burgdorf, Lars T.; Kleiner, Oliver; Batschauer, Alfred; Carell, Thomas

CORPORATE SOURCE: Fachbereich Chemie, Philipps-Universitat Marburg,

Marburg, 35032, Germany
SOURCE: ChemBioChem (2002), 3(11), 1053-1060
CODEN: CBCHFX; ISSN: 1439-4227
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
AB To gain deeper insight into the function and interplay of proteins in cells it is essential to develop methods that allow the profiling of protein function in real time, in solution, in cells, and in cell organelles. Here the authors report the development of a U-type oligonucleotide (mol. beacon) that contains a fluorophore and a quencher at the tips, and in addition a substrate analog in the loop structure. This substrate analog induces a hairpin cleavage in response to enzyme action, which is translated into a fluorescence signal. The mol. beacon developed here was used to characterize DNA-photolyase activity. These enzymes represent a challenge for anal. because of their low abundance in cells. The mol. beacon made it possible to measure the activity of purified class I and class II photolyases. Photolyase activity was even detectable in crude cell exts.
REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:418594 CAPLUS
DOCUMENT NUMBER: 137:243521
TITLE: Weak distance dependence of excess electron transfer in DNA
AUTHOR(S): Behrens, Christoph; Burgdorf, Lars T.; Schwogler, Anja; Carell, Thomas
CORPORATE SOURCE: Fachbereich Chemie Philipps-Universitat Marburg, Marburg, 35032, Germany
SOURCE: Angewandte Chemie, International Edition (2002), 41(10), 1763-1766
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Remote reductive repair of thymine dimers in a DNA duplex by transfer of excess electrons over a distance of up to roughly 24 Å (n = 7) has been attributed to thermally activated hopping (see scheme). Possible consequences for humans: the harmful effect of UV irradiation responsible for the development of skin cancer could potentially be reduced by compds. that bind to DNA and trigger long-range electron transport.
REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:62884 CAPLUS
DOCUMENT NUMBER: 136:243409
TITLE: Synthesis, stability, and conformation of the formamidopyrimidine G DNA lesion
AUTHOR(S): Burgdorf, Lars T.; Carell, Thomas
CORPORATE SOURCE: Fachbereich Chemie Philipps-Universitat Marburg, Marburg, 35032, Germany
SOURCE: Chemistry--A European Journal (2002), 8(1), 293-301
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:243409
AB The formamidopyrimidine (FapydGua) lesion, derived from the nucleobase guanine, is a major DNA lesion involved in mutagenesis and carcinogenesis.

To date, the chemical information available about this main lesion is very limited. Herein, we describe a synthesis and a detailed characterization of the acetyl-protected monomer of the FapydGua lesion. Stability studies in DMSO and in water/acetonitrile show that the N-glycosidic bond, previously thought to be highly labile, is much more stable than anticipated. Decomposition of the FapydGua lesion proceeds with half-life times of 37.8 h for the β-anomer and 65.2 h for the α-anomer in water/acetonitrile. The relaxation time for the anomerization reaction was determined to τ = 6.5 h at room temperature Most important, it was found that the formamido group, which is critical for the lesion recognition process by repair enzymes, is fixed in the cis-conformation in apolar solvents such as chloroform. This conformation enables the formation of a hydrogen bond between the carbonyl oxygen of the formamide and the NH of the N-glycosidic bond within the framework of a seven-membered ring system. This has consequences for the recognition of the lesion by repair enzymes (hOGG1 and Fpg protein). These enzymes were so far believed to recognize the carbonyl group of the FapydGua lesion. Our investigations show that this carbonyl group is not readily accessible because it is almost buried in the dominating cis-conformation. In agreement with the recent X-ray structure of hOGG1 in complex with 8-oxo-7,8-dihydroguanine-containing DNA, we can conclude that repair enzymes can contact both lesions only via the N(7)-H group, which is a hydrogen-bond acceptor in guanine.

REFERENCE COUNT: 74 THERE ARE 74 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:709363 CAPLUS
DOCUMENT NUMBER: 135:368343
TITLE: The mechanism of action of DNA photolyases
AUTHOR(S): Carell, T.; Burgdorf, L. T.; Kundu, L. M.; Cichon, M.
CORPORATE SOURCE: Department of Chemistry, Philipps-University Marburg, Marburg, D-35032, Germany
SOURCE: Current Opinion in Chemical Biology (2001), 5(5), 491-498
CODEN: COCBF4; ISSN: 1367-5931
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review with 43 refs. Structural anal., biochem., and model studies have provided new insights into the mechanism of action of photolyases. The light-driven electron and energy transfer events that lead to the photolyase-catalyzed repair of lethal, mutagenic, and carcinogenic UV-light-induced DNA lesions have all been examined in the past few years.

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:819021 CAPLUS
DOCUMENT NUMBER: 134:158975
TITLE: Self-repairing DNA based on a reductive electron transfer through the base stack
AUTHOR(S): Schwogler, Anja; Burgdorf, Lars T.; Carell, Thomas
CORPORATE SOURCE: Fachbereich Chemie, Philipps-Univ., Marburg, 35032, Germany
SOURCE: Angewandte Chemie, International Edition (2000), 39(21), 3918-3920
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

AB DNA photolyases utilize light energy to initiate the repair of highly mutagenic UV-induced cyclobutane pyrimidine dimers that form the major photolesions in DNA. The basis of the repair reaction, which rescues many insects, fish, amphibians, and plants from UV-induced cell death and mutagenesis, is a light-induced electron transfer from a reduced and deprotonated flavin coenzyme to the DNA lesion. The lesion undergoes a spontaneous cycloreversion as its radical anion to the corresponding monomers. Although the general mechanism of the light-driven repair process is known, no information is currently available about the critical electron-donation process from the flavin donor to the dimer acceptor in the DNA strand. In particular, the question as to what extent the DNA double strand is able to mediate the transport of the electron in the base stack is still under debate. This question is directly linked to investigations of the electron hole transport properties of DNA. Hole transfer was recently shown to proceed over relatively large distances in an undisturbed DNA double strand. Expts. carried out recently provided compelling evidence that a hopping process in which guanosine bases (which react to form guanosine radical cations) act as stepping stones in the DNA double helix could be one basis for the seemingly distance independent hole transfer. A deeper understanding of oxidative damage to DNA and the design of DNA-based bioanal. devices is crucially dependent upon the elucidation of the electron- and hole-transfer properties of double-stranded DNA. Herein we report the preparation of DNA strands containing a flavin building block and a cyclobutane thymidine dimer lesion. These doubly modified DNA strands show light-induced self-repairing properties and allowed insight to be gained into the ability of DNA to mediate a reductive (surplus) electron-transfer reaction.
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:350039 CAPLUS
DOCUMENT NUMBER: 133:218952
TITLE: DNA repair: from model compounds to artificial enzymes
AUTHOR(S): Carell, Thomas; Burgdorf, Lars; Butenandt, Jens; Epple, Robert; Schwogler, Anja
CORPORATE SOURCE: Department of Organic Chemistry, Swiss Federal Institute of Technology, ETH-Zentrum, Zurich, CH-8092, Switz.
SOURCE: Bioorganic Chemistry (1999), 242-254. Editor(s): Diederichsen, Ulf. Wiley-VCH Verlag GmbH: Weinheim, Germany.
CODEN: 68ZQAX
DOCUMENT TYPE: Conference; General Review
LANGUAGE: English
AB A review, with 52 refs. The topics discussed include: the degradation and repair of genetic information; DNA photolyase repair enzymes; mechanistic investigations with model compds.; and the role of the 2nd cofactor.
REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:447533 CAPLUS
DOCUMENT NUMBER: 131:88087
TITLE: Synthesis of DNA lesions and DNA-lesion-containing oligonucleotides for DNA-repair studies
AUTHOR(S): Butenandt, Jens; Burgdorf, Lars Thore; Carell, Thomas
CORPORATE SOURCE: Lab. Organische Chemie, ETH-Zentrum Zurich, Zurich, CH-8092, Switz.
SOURCE: Synthesis (1999), (7), 1085-1105

CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with 178 refs. In order to study the effect of DNA lesions on the structure of the DNA double helix, a variety of lesion building blocks were recently synthesized and incorporated into oligonucleotides. In addition, oligonucleotides which contain DNA lesions at specific sites are the basis for a detailed investigation of repair mechanisms that were developed by organisms in order to counteract the lethal effect of DNA damage. This review article describes the recent synthetic progress that has enabled the preparation of DNA lesion phosphor-amidite building blocks. The synthetic procedures employed for their preparation and the methods used to incorporate these building blocks into oligonucleotides are described. The biol. effect of each particular lesion is briefly recapitulated.
REFERENCE COUNT: 182 THERE ARE 182 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:192842 CAPLUS
DOCUMENT NUMBER: 131:15260
TITLE: "Base flipping": photodamaged DNA-RNA duplexes are poor substrates for photoreactivating DNA-repair enzymes
AUTHOR(S): Butenandt, Jens; Burgdorf, Lars T.; Carell, Thomas
CORPORATE SOURCE: Laboratorium fur Organische Chemie, ETH-Zentrum, Zurich, CH-8092, Switz.
SOURCE: Angewandte Chemie, International Edition (1999), 38(5), 708-711
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The cis-syn cyclobutane pyrimidine dimers (photodimers) are the main DNA lesions formed on irradiation of cells with UV light. They are responsible for cell death, the development of various skin cancers, and therefore represent a severe threat to all organisms that are exposed to sunlight. All organisms have developed DNA repair processes in order to remove UV-induced lesions from the genome and to overcome DNA damage. The observation that certain genome sites are repaired with greatly reduced efficiency, giving rise to mutation hot spots has shifted the investigation of the factors that determine the effectiveness of lesion recognition into the center of DNA repair research. It is currently believed that lesion-specific repair enzymes recognize structural alterations of the normal DNA duplex which are possibly caused by weakened hydrogen bonds and π-stacking interactions around a DNA lesion. Crystallog. data show that many repair enzymes subsequently "flip" the damaged base out of the DNA duplex for repair. This process could be influenced by the DNA packing, which may shield DNA lesions and by the local DNA sequence and conformation. First indication that DNA repair might be influenced by the duplex conformation stems from the discovery that dsDNA-specific repair enzymes remove lesions from DNA-RNA hybrids, which are in an atypical A-like conformation, with reduced efficiency. In order to learn if and to what extent the duplex conformation is able to influence the DNA-photolyase repair process, we investigated the extent to which A- and B-type double strands are destabilized by a photolesion, which has been incorporated site-specifically into the DNA strand. The repair was probed with a DNA-photolyase, which is believed to recognize the cis-syn photolesions in an extra-helical, "flipped-out" conformation. The thermodn. data reveal that photodimers significantly destabilize a

B-duplex but decrease the stability of an A-like duplex only to a small extent. The low destabilization was found to correlate with less efficient repair, which indicates that the local DNA conformation might modulate the DNA lesion "flipping" process.

REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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NEWS 3	OCT 19	BEILSTEIN updated with new compounds
NEWS 4	NOV 15	Derwent Indian patent publication number format enhanced
NEWS 5	NOV 19	WPIX enhanced with XML display format
NEWS 6	NOV 30	ICSD reloaded with enhancements
NEWS 7	DEC 04	LINPADOCDB now available on STN
NEWS 8	DEC 14	BEILSTEIN pricing structure to change
NEWS 9	DEC 17	USPATOLD added to additional database clusters
NEWS 10	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS 11	DEC 17	DGENE now includes more than 10 million sequences
NEWS 12	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS 13	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 14	DEC 17	CA/CAplus enhanced with new custom IPC display formats
NEWS 15	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS 16	JAN 02	STN pricing information for 2008 now available
NEWS 17	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 18	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 19	JAN 28	MARPAT searching enhanced
NEWS 20	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS 21	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 22	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS 23	FEB 08	STN Express, Version 8.3, now available
NEWS 24	FEB 20	PCI now available as a replacement to DPCI
NEWS 25	FEB 25	IFIREF reloaded with enhancements
NEWS 26	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS 27	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification

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AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

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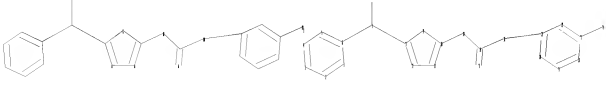

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7  8  14  15  16  17  24
ring nodes :
1  2  3  4  5  6  9  10  11  12  13  18  19  20  21  22  23
chain bonds :
6-7  7-8  7-13  10-14  14-15  15-16  15-17  16-21  23-24
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  9-10  9-13  10-11  11-12  12-13  18-19  18-23  19-20
20-21  21-22  22-23
exact/norm bonds :
9-10  9-13  10-11  10-14  11-12  12-13  14-15  15-16  15-17  16-21
exact bonds :
6-7  7-8  7-13  23-24
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  18-19  18-23  19-20  20-21  21-22  22-23


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:CLASS  15:CLASS  16:CLASS  17:CLASS  18:Atom  19:Atom
 20:Atom  21:Atom  22:Atom  23:Atom  24:CLASS



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L3            1 L2

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L3   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2005:1002884  CAPLUS
DOCUMENT NUMBER:          143:306318
TITLE:                    Preparation of thiadiazole urea derivatives for use in
                          controlling signal transduction of kinases
INVENTOR(S):              Burgdorf, Lars; Buchstaller, Hans-Peter; Stieber,
                          Frank; Anzali, Soheila; Amendt, Christiane; Greiner,
                          Hartmut; Grell, Matthias; Sirrenberg, Christian;
                          Zenke, Frank
PATENT ASSIGNEE(S):       Merck Patent G.m.b.H., Germany
SOURCE:                   Ger. Offen., 32 pp.
                          CODEN: GWXXBX
DOCUMENT TYPE:            Patent
LANGUAGE:                 German
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.            KIND   DATE        APPLICATION NO.          DATE
     ---------------       ----   --------    -------------------      --------
     DE 102004009933        A1    20050915    DE 2004-102004009933     20040226
     AU 2005219499          A1    20050915    AU 2005-219499           20050131
     CA 2557303             A1    20050915    CA 2005-2557303          20050131
     WO 2005085220          A1    20050915    WO 2005-EP908            20050131
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OTHER SOURCE(S):          CASREACT 143:306318; MARPAT 143:306318
GI
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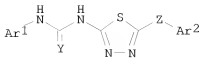

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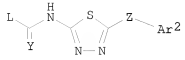

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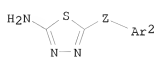

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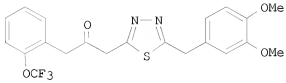

IV

AB Use of compds. I [Ar1 = (un)substituted Ph, naphthyl, biphenyl or heterocycle (substituted with 1-5 R1); Ar2 = (un)substituted Ph, naphthyl, biphenyl or heterocycle (substituted with 1-5 R2); Y = O, S, CHNO2, C(CN)2, NR4; Z = O, S, CH2(CH2)n, (CH2)nCHA, CHA(CH2)n, C:O, CHOH, (CHA)nO, (CH2)nO, O(CHA)n, etc.; R1, R2 = A, Ar', OR3, OAr', SAr', N(R3)2, NHAr', halogen, NO2, CN, (CH2)nCO2H, (CH2)nCON(R3)2, (CH2)nCONHR3, etc.; R3 = H, A, (CH2)nAr'; R4 = H, CN, OH, A, (CH2)mAr', COR3, COAr', S(O)mA, S(O)mAr'; Ar' = (un)substituted Ph (optionally substituted 1-5 times with A, Ph, OH, OA, SHH, SA, OPh, SPh, NH2, NHA, NA2, NHPh, halogen, NO2, CN, (CH2)nCO2H), (CH2)nA, CHO, COA, S(O)mA, S(O)mPh, NHCOA, NHCOPh, NHSO2A, NHSO2Ph, SO2NH; Ph = (un)substituted (optionally substituted 1-5 times with A, halogen, CN, CO2R, CO2H, NH2, NO2, OH, OA); Het1 = (un)substituted heterocycle with 1- to 4-heteroatoms (N, O, S; optionally substituted 1 to 3 times with halogen, A, OA, CN, (CH2)nOH, (CH2)n-halogen, NH2, :NH, :NOH, :NOA, :O); A = C1-10-alkyl (whereby 1 - 7 H's can be replaced with F or Cl); halogen = F, Cl, Br, I; n= 0 - 5; m = 0, 1, 2] and their pharmaceutically acceptable salts, solvates,and stereoisomers, for the prophylaxis and/or treatment of diseases, with which the inhibition, control and/or modulation of the signal transduction of kinases, in particular the RAF kinases, play a role. A method for preparation of I comprises: (a) reaction of carbamic acid derivative II (L = OA, Cl, Br, I, OH derivative) with Ar1NH2; or (b) carbamylation of thiadiazolamine III with Ar1NCO. Thus, 1-[5-(3,4-dimethoxybenzyl)-[1,3,4]-thiadiazol-2-yl]-3-[3-(trifluoromethoxy)phenyl]urea (IV) was prepared from (3,4-dimethoxyphenyl)acetonitrile, via cyclocondensation with thiosemicarbazide in CF2CO2H to the 5-(3,4-dimethoxybenzyl)-[1,3,4]-thiadiazole, carbonylation with p-nitrophenyl chloroformate in CH2Cl2 containing pyridine followed by amidation with 3-(trifluoromethoxy)aniline in CH2Cl2 containing EtN(CHMe2)2.

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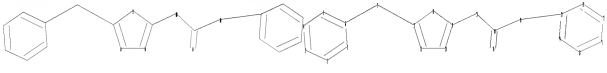

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exact bonds :
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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
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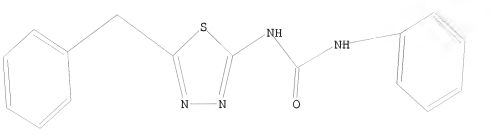
L4 STR



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L6 1 SEA FAM FUL L4

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L7 1 L6

=> d l7

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:413194 CAPLUS
DN 145:95761
TI A Combination of Docking/Dynamics Simulations and Pharmacophoric Modeling To Discover New Dual c-Src/Abl Kinase Inhibitors
AU Manetti, Fabrizio; Locatelli, Giada A.; Maga, Giovanni; Schenone, Silvia; Modugno, Michele; Forli, Stefano; Corelli, Federico; Botta, Maurizio
CS Dipartimento Farmaco Chimico Tecnologico, Universita degli Studi di Siena, Siena, I-53100, Italy
SO Journal of Medicinal Chemistry (2006), 49(11), 3278-3286
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
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